| L Number | Hits | Search Text | DB | Time stamp |
|---|---|---|---|---|
| 1 | 773 | tetrahydropyrimidin or tetrahydropyrimidinyl | USPAT; US-PGPUB | 2003/07/22 15:43 |
| 2 | 552 | (tetrahydropyrimidin or tetrahydropyrimidinyl) and (naphthalene or naphthyl) | USPAT; US-PGPUB | 2003/07/22 15:44 |
| 3 | 75 | ((tetrahydropyrimidin or tetrahydropyrimidinyl) and (naphthalene or naphthyl)) and ((sulfonyl adj amino) or sulfonamide or sulfonamido) | USPAT; US-PGPUB | 2003/07/22 15:45 |

EAST

10/831,121

10/ 031,121

Welcome to STN International! Enter x:x

LOGINID:ssspta1202txn

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

| | | |
|---|---|---|
| NEWS 1 | | Web Page URLs for STN Seminar Schedule - N. America |
| NEWS 2 | | "Ask CAS" for self-help around the clock |
| NEWS 3 | Feb 24 | PCTGEN now available on STN |
| NEWS 4 | Feb 24 | TEMA now available on STN |
| NEWS 5 | Feb 26 | NTIS now allows simultaneous left and right truncation |
| NEWS 6 | Feb 26 | PCTFULL now contains images |
| NEWS 7 | Mar 04 | SDI PACKAGE for monthly delivery of multifile SDI results |
| NEWS 8 | Mar 24 | PATDPAFULL now available on STN |
| NEWS 9 | Mar 24 | Additional information for trade-named substances without structures available in REGISTRY |
| NEWS 10 | Apr 11 | Display formats in DGENE enhanced |
| NEWS 11 | Apr 14 | MEDLINE Reload |
| NEWS 12 | Apr 17 | Polymer searching in REGISTRY enhanced |
| NEWS 13 | Jun 13 | Indexing from 1947 to 1956 added to records in CA/CAPLUS |
| NEWS 14 | Apr 21 | New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX |
| NEWS 15 | Apr 28 | RDISCLOSURE now available on STN |
| NEWS 16 | May 05 | Pharmacokinetic information and systematic chemical names added to PHAR |
| NEWS 17 | May 15 | MEDLINE file segment of TOXCENTER reloaded |
| NEWS 18 | May 15 | Supporter information for ENCOMPPAT and ENCOMPLIT updated |
| NEWS 19 | May 19 | Simultaneous left and right truncation added to WSCA |
| NEWS 20 | May 19 | RAPRA enhanced with new search field, simultaneous left and right truncation |
| NEWS 21 | Jun 06 | Simultaneous left and right truncation added to CBNB |
| NEWS 22 | Jun 06 | PASCAL enhanced with additional data |
| NEWS 23 | Jun 20 | 2003 edition of the FSTA Thesaurus is now available |
| NEWS 24 | Jun 25 | HSDB has been reloaded |
| NEWS 25 | Jul 16 | Data from 1960-1976 added to RDISCLOSURE |
| NEWS 26 | Jul 21 | Identification of STN records implemented |
| NEWS 27 | Jul 21 | Polymer class term count added to REGISTRY |

| | |
|---|---|
| NEWS EXPRESS | April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003 |
| NEWS HOURS | STN Operating Hours Plus Help Desk Availability |
| NEWS INTER | General Internet Information |
| NEWS LOGIN | Welcome Banner and News Items |
| NEWS PHONE | Direct Dial and Telecommunication Network Access to STN |
| NEWS WWW | CAS World Wide Web Site (general information) |

Enter NEWS followed by the item number or name to see news on that specific topic.

10/ 031,121

* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:03:20 ON 22 JUL 2003

=> file reg
COST IN U.S. DOLLARS

| | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 10:03:41 ON 22 JUL 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 21 JUL 2003 HIGHEST RN 552272-14-7
DICTIONARY FILE UPDATES: 21 JUL 2003 HIGHEST RN 552272-14-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

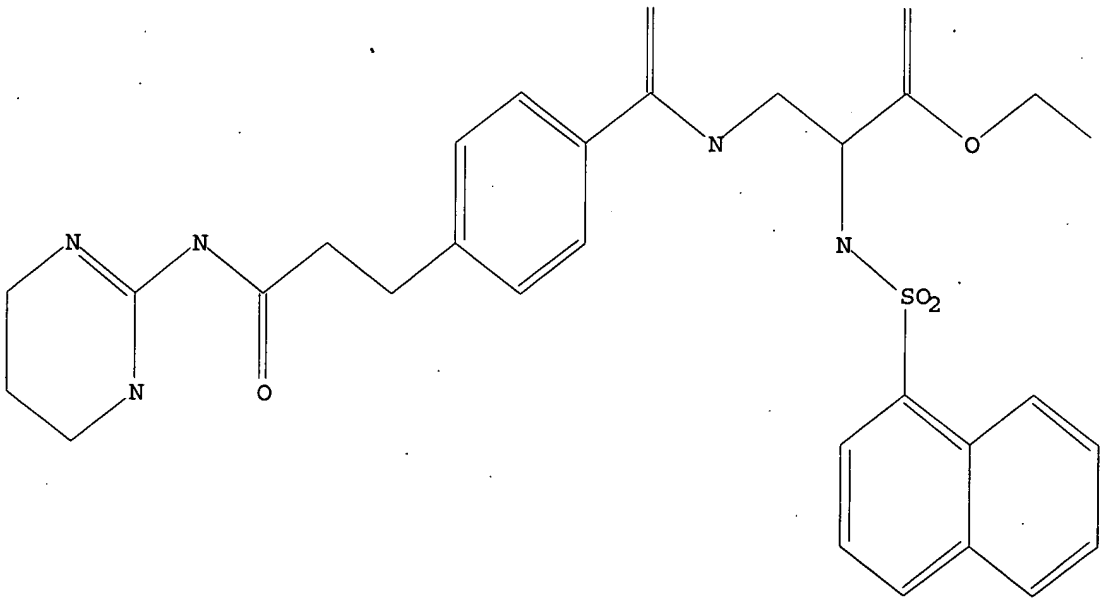
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10031121.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

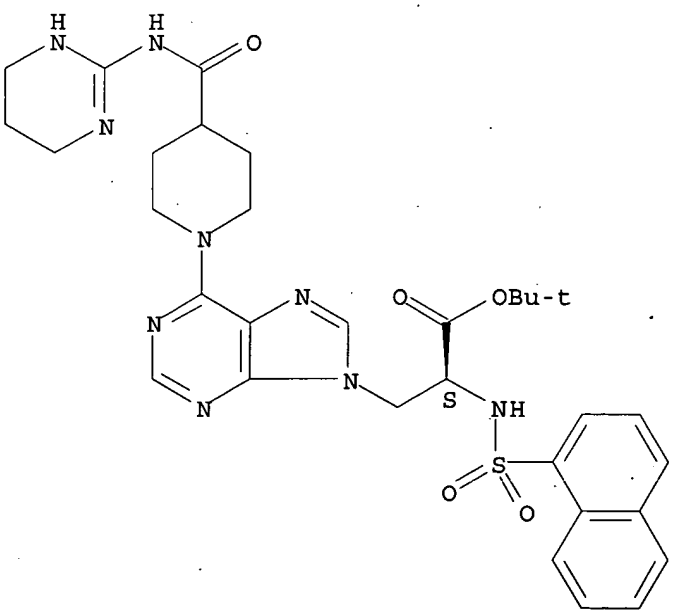


10/ 031,121

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1 ful
FULL SEARCH INITIATED 10:04:08 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    109 TO ITERATE

100.0% PROCESSED     109 ITERATIONS                                  0 ANSWERS
SEARCH TIME: 00.00.01

L2             0 SEA SSS FUL L1

=> s 'naphthalene-1-sulfonylamino' and '1,4,5,6-tetrahydropyrimidin-2-ylcarbamoyl'
        314616 'NAPHTHALENE'
      13662991 '1'
           976 'SULFONYLAMINO'
            11 'NAPHTHALENE-1-SULFONYLAMINO'
                 ('NAPHTHALENE'(W)'1'(W)'SULFONYLAMINO')
         26902 '1,4,5,6'
           288 'TETRAHYDROPYRIMIDIN'
      15486951 '2'
          3185 'YLCARBAMOYL'
            54 '1,4,5,6-TETRAHYDROPYRIMIDIN-2-YLCARBAMOYL'
                 ('1,4,5,6'(W)'TETRAHYDROPYRIMIDIN'(W)'2'(W)'YLCARBAMOYL')
L3             4 'NAPHTHALENE-1-SULFONYLAMINO' AND '1,4,5,6-TETRAHYDROPYRIMIDIN-2
                 -YLCARBAMOYL'

=> d scan l3 1-
'1-' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'

L3   4 ANSWERS   REGISTRY  COPYRIGHT 2003 ACS on STN
IN   9H-Purine-9-propanoic acid, .alpha.-[(1-naphthalenylsulfonyl)amino]-6-[4-
     [[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]carbonyl]-1-piperidinyl]-,
     1,1-dimethylethyl ester, (.alpha.S)- (9CI)
MF   C32 H39 N9 O5 S
```

Absolute stereochemistry.



10/ 031,121

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

The following are valid formats:

Substance information can be displayed by requesting individual fields or predefined formats. The predefined substance formats are: (RN = CAS Registry Number)

REG - RN
SAM - Index Name, MF, and structure - no RN
FIDE - All substance data, except sequence data
IDE - FIDE, but only 50 names
SQIDE - IDE, plus sequence data
SQIDE3 - Same as SQIDE, but 3-letter amino acid codes are used
SQD - Protein sequence data, includes RN
SQD3 - Same as SQD, but 3-letter amino acid codes are used
SQN - Protein sequence name information, includes RN

CALC - Table of calculated properties
EPROP - Table of experimental properties
PROP - EPROP and CALC

Any CA File format may be combined with any substance format to obtain CA references citing the substance. The substance formats must be cited first. The CA File predefined formats are:

ABS -- Abstract
APPS -- Application and Priority Information
BIB -- CA Accession Number, plus Bibliographic Data
CAN -- CA Accession Number
CBIB -- CA Accession Number, plus Bibliographic Data (compressed)
IND -- Index Data
IPC -- International Patent Classification
PATS -- PI, SO
STD -- BIB, IPC, and NCL

IABS --ABS, indented, with text labels
IBIB -- BIB, indented, with text labels
ISTD -- STD format, indented

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

The ALL format gives FIDE BIB ABS IND RE, plus sequence data when it is available.
The MAX format is the same as ALL.
The IALL format is the same as ALL with BIB ABS and IND indented, with text labels.

For additional information, please consult the following help messages:

HELP DFIELDS -- To see a complete list of individual display fields.
HELP FORMATS -- To see detailed descriptions of the predefined formats.
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> file caplus

10/ 031,121

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 180.49 | 180.70 |

FILE 'CAPLUS' ENTERED AT 10:08:21 ON 22 JUL 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


FILE COVERS 1907 - 22 Jul 2003 VOL 139 ISS 4
FILE LAST UPDATED: 21 Jul 2003 (20030721/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 10:03:20 ON 22 JUL 2003)

FILE 'REGISTRY' ENTERED AT 10:03:41 ON 22 JUL 2003
L1 STRUCTURE UPLOADED
L2 0 S L1 FUL
L3 4 S 'NAPHTHALENE-1-SULFONYLAMINO' AND '1,4,5,6-TETRAHYDROPYRIMIDI

FILE 'CAPLUS' ENTERED AT 10:08:21 ON 22 JUL 2003

=> s l3
L4 2 L3

=> d l4 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 2 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:450930 CAPLUS
DOCUMENT NUMBER: 135:46196
TITLE: Preparation of (tetrahydropyrimidinylcarbamoylalkyl)thienylalanine derivatives as inhibitors of cell adhesion
INVENTOR(S): Gadek, Thomas; Gourvest, Jean-Francois; Peyman, Anuschirwan; Ruxer, Jean-Marie; Scheunemann, Karl-Heinz
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany; Genentech, Inc.
SOURCE: Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

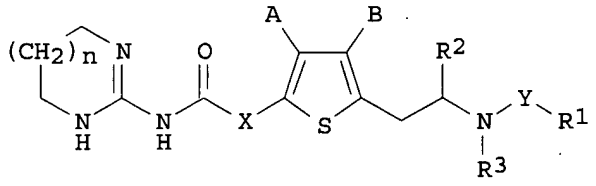
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|

| | | | | | |
|---|---|---|---|---|---|
| EP 1108721 | A1 | 20010620 | EP 1999-124971 | 19991215 | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | | |
| WO 2001044237 | A1 | 20010621 | WO 2000-EP12877 | 20001212 | |
| W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | | |
| EP 1240161 | A1 | 20020918 | EP 2000-989987 | 20001212 | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | | |
| US 2003105080 | A1 | 20030605 | US 2002-169612 | 20020923 | |

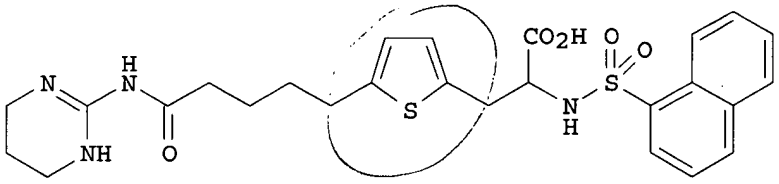
PRIORITY APPLN. INFO.: EP 1999-124971 A 19991215
WO 2000-EP12877 W 20001212

OTHER SOURCE(S): MARPAT 135:46196

GI




I




II

AB Title compds. (I) [wherein A and B = independently H, alkyl, cycloalkyl(alkyl), aryl(alkyl), halo, CN, CF3, NO2, CO2H, alkoxy(alkyl), alkoxycarbonyl, (aryl)alkylcarbonyl, arylcarbonyl, alkylaminocarbonyl, alkoxyalkoxy, alkylaminocarbonyl, (di)alkylamino, alkylsulfonyl, or aminosulfonyl; or A and B together with the thiophene ring to which they are attached may form a fused ring system; X = alkanediyl, alkenendiyl, or alkynediyl, where 1 C may be replaced by N, O, or S, etc.; Y = a bond, SO2, CO, CO2, SO2NR3', or CONR3'; R1 = (un)substituted alkyl, cycloalkyl(alkyl), or aryl(alkyl); R2 = COR4, CSR4, SO2R4, POR4R4', or a heterocycle; R3 and R3' = independently H, alkyl, cycloalkyl(alkyl), or aryl(alkyl); R4 and R4' = independently OH, (aryl)alkoxy, (aryl)alkylcarbonyloxyalkoxy, or NR5NR5'; R5 and R5' = independently H, alkyl, cycloalkyl(alkyl), or aryl(alkyl); or R5 and R5' together with the N to with they are attached may form a heterocycle] were prepd. as vitronectin receptor (VnR) antagonists. For example, coupling 5-[5-((2S)-2-amino-2-tert-butoxycarbonylethyl)thiophen-2-yl]pentanoic acid (6-step prepn. given) and naphthalene-1-sulfonyl chloride to give the sulfonamide, followed by amidation with 1,4,5,6-tetrahydropyrimidin-2-ylamine and hydrolysis using TFA, gave (S)-II. II inhibited the binding of kistrin to human VnR .alpha.v.beta.3 and the binding of human embryonic kidney 293 cells to human vitronectin with IC50 values of 0.023 .mu.M and

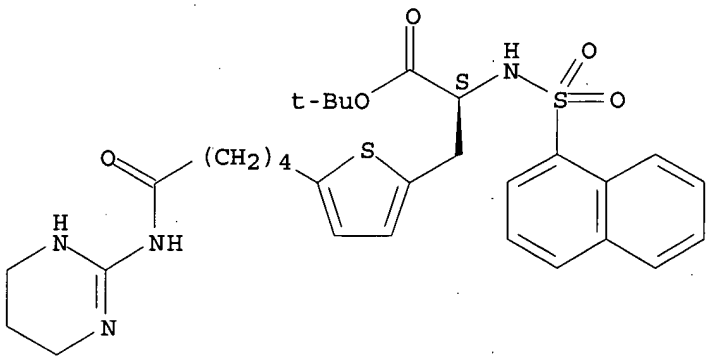
0.42 .mu.M, resp. As cell adhesion inhibitors, I are suitable for the therapy and prophylaxis of illnesses which are based on or influenced by the interaction between vitronectin receptors and their ligands in cell-cell or cell-matrix interaction processes, e.g. osteoporosis, angiogenesis, and proliferation of cells of the vascular smooth musculature (no data).

IT **344619-98-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of (tetrahydropyrimidinylcarbamoylalkyl)thienylalanine derivs. as vitronectin receptor antagonists for use as cell adhesion inhibitors)

RN 344619-98-3 CAPLUS

CN 2-Thiophenepropanoic acid, .alpha.-[(1-naphthalenylsulfonyl)amino]-5-[5-oxo-5-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]pentyl]-, 1,1-dimethylethyl ester, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

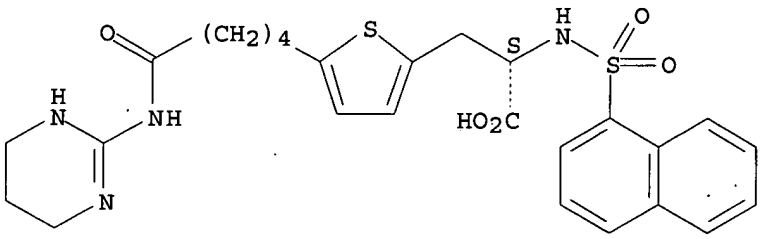


IT **344619-90-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (tetrahydropyrimidinylcarbamoylalkyl)thienylalanine derivs. as vitronectin receptor antagonists for use as cell adhesion inhibitors)

RN 344619-90-5 CAPLUS

CN 2-Thiophenepropanoic acid, .alpha.-[(1-naphthalenylsulfonyl)amino]-5-[5-oxo-5-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]pentyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:10663 CAPLUS

10/ 031,121

DOCUMENT NUMBER: 134:71601
TITLE: Substituted purine derivatives, method of preparation and use as inhibitors of cell adhesion
INVENTOR(S): Knolle, Jochen; Peyman, Anuschirwan; Gourvest, Jean-Francois; Ruxer, Jean-Marie; Gadek, Thomas R.
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany; Genentech, Inc.
SOURCE: Eur. Pat. Appl., 41 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 1065208 | A1 | 20010103 | EP 1999-112637 | 19990702 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| WO 2001002399 | A1 | 20010111 | WO 2000-EP5921 | 20000626 |
| W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1196416 | A1 | 20020417 | EP 2000-940406 | 20000626 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| BR 2000012050 | A | 20021231 | BR 2000-12050 | 20000626 |
| JP 2003503497 | T2 | 20030128 | JP 2001-507836 | 20000626 |
| EE 200100713 | A | 20030415 | EE 2001-713 | 20000626 |
| BG 106229 | A | 20020830 | BG 2001-106229 | 20011217 |
| NO 2001006403 | A | 20020301 | NO 2001-6403 | 20011228 |

PRIORITY APPLN. INFO.: EP 1999-112637 A 19990702
WO 2000-EP5921 W 20000626

OTHER SOURCE(S): MARPAT 134:71601
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to purine derivs. I. B is (C1-C18)alkyl, (C3-C14)cycloalkyl, (C3-C14)cycloalkyl-(C1-C8)alkyl, (C5-C14)aryl, (C5-C14)aryl-(C1-C8)alkyl, (C5-C14)heteroaryl, (C5-C14)heteroaryl-(C1-C8)alkyl, F, Cl, Br, OH, CN, CF3, NO2, CO2H, (C1-C6)alkoxy, (C1-C6)alkoxy-(C1-C6)alkyl, (C1-C6)alkoxycarbonyl, (C1-C6)alkylcarbonyl, (C5-C14)arylcarbonyl, (C1-C6)alkylaminocarbonyl, (C1-C6)alkoxy-(C1-C6)alkoxy, (C5-C14)aryl-(C1-C8)alkylcarbonyl, (C1-C6)alkanoylamino, (C1-C6)alkylsulfonylamino, (C5-C14)arylsulfonylamino, (C1-C6)alkylamino, di((C1-C6)alkyl)amino, (C1-C6)alkylsulfonyl, aminosulfonyl, (C5-C14)arylsulfonyl, (C5-C14)aryl-(C1-C8)alkylsulfonyl, (C5-C14)aryl or (C5-C14)heteroaryl, where all residues B are independent of one another and can be identical or different, or B denotes an arom. or nonarom. ring system that is fused to the 6-membered ring contg. the groups G and Z. D is -C(O)-N(R6)-, -NR6-C(O)-, -NR6-C(O)-N(R6)-, -NR6-C(S)-N(R6)-, -C(S)-N(R6)- or -C(R6):N-N(R6)-, where the divalent residues representing D are bonded to the group E via the free bond on their right side. E is a residue from the series consisting of possibly substituted 2-pyrimidinyl, pyrrolyl, 2-imidazolyl, 2-imidazolin-2-yl, 2-pyridinyl many other N

heterocycles, R6-C(:NR6)-NR6-, and R6R6'N-C(:NR6)-. G is N, CH or C((C1-C4)alkyl). X is H, -NR6R6', F, Cl, Br, -OR6, -SR6, hydroxy-(C1-C6)alkyl-NH-, (hydroxy-(C1-C6)alkyl)2N-, amino-(C1-C6)alkyl-NH-, (amino-(C1-C6)alkyl)2N-, hydroxy-(C1-C6)alkyl-O-, hydroxy-(C1-C6)alkyl-S- or -NH-C(O)-R6. Y has one of the meanings of R6 or is F, Cl, Br, CN, -NR6R6', -OR6, -SR6 or hydroxy-(C1-C6)alkyl-NH-. Z is N or CH. R1 is (C1-C18)alkyl, (C3-C14)cycloalkyl, (C3-C14)cycloalkyl-(C1-C8)alkyl, (C5-C14)aryl, (C5-C14)aryl-(C1-C8)alkyl, (C5-C14)heteroaryl or (C5-C14)heteroaryl-(C1-C8)alkyl, where aryl, heteroaryl, cycloalkyl and alkyl can be substituted one, two or three times by identical or different substituents from the series consisting of F, Cl, Br, CN, CF3, NO2, CO2H, (C1-C6)alkyl, (C1-C6)alkoxy, (C1-C6)alkoxy-(C1-C8)alkyl, (C1-C6)alkoxycarbonyl, (C1-C6)alkylcarbonyl, (C1-C6)alkylaminocarbonyl, (C1-C6)alkoxy-(C1-C6)alkoxy, (C5-C14)aryl-(C1-C8)alkylcarbonyl, (C1-C6)alkanoylamino, (C5-C14)arylsulfonylamino, (C1-C6)alkylsulfonylamino, (C1-C6)alkylamino, di((C1-C6)alkyl)amino, (C1-C6)alkylsulfonyl, (C1-C6)alkylaminosulfonyl, (C5-C14)arylaminosulfonyl, (C5-C14)aryl-(C1-C8)alkylaminosulfonyl, (C5-C14)arylsulfonyl, (C5-C14)aryl-(C1-C8)alkylsulfonyl, (C5-C14)aryl and (C5-C14)heteroaryl. R2 is -C(O)R5, -C(S)R5, -S(O)pR5, -P(O)R5R5' or a residue of a 4-membered to 8-membered satd. or unsatd. heterocycle which contains 1-4 heteroatoms from the series consisting of N, O and S. R5 and R5' are OH, (C1-C8)alkoxy, (C5-C14)aryl-(C1-C8)alkoxy, (C1-C8)alkylcarbonyloxy-(C1-C4)alkoxy, (C5-C14)aryl-(C1-C8)alkylcarbonyloxy(C1-C8)alkoxy- or -NR6R6', where the residues R5' and R5' are independent of one another and can be identical or different. R6 and R6' are H, (C1-C18)alkyl, (C3-C14)cycloalkyl, (C3-C14)cycloalkyl-(C1-C8)alkyl, (C5-C14)aryl where in the aryl residue 1-5 ring C atoms can be replaced by heteroatoms N, O and S, or (C5-C14)aryl-(C1-C8)alkyl, where in the aryl moiety of the arylalkyl residue 1-5 ring C atoms can be replaced by heteroatoms N, O and S, or R6 and R6' together with the N atom to which they are bonded form a 4-8-membered ring system which in addn. to the N atom to which R6 and R6' are bonded can contain 1-3 ring heteroatoms N, O and S and which can be unsatd. or satd., where all residues R6 and R6' are independent of one another and can be identical or different. R = 0-4; s = 0-4; v = 1-3; p = 1-2. The present invention also relates to stereoisomeric forms and mixts. thereof in all ratios, and their physiol. tolerable salts and their prodrugs; where, instead of the purine structure shown in I, also a 3-deazapurine structure, a 7-deazapurine structure or a 7-deaza-8-azapurine structure can be present. I are valuable pharmacol. active compds. They are vitronectin receptor antagonists and inhibitors of cell adhesion and are suitable for the therapy and prophylaxis of illnesses which are based on the interaction between vitronectin receptors and their ligands in cell-cell or cell-matrix interaction processes or which can be prevented, alleviated or cured by influencing such interactions. For example, they can be applied for inhibiting bone resorption by osteoclasts and thus for treating and preventing osteoporosis, or for inhibiting undesired angiogenesis or proliferation of cells of the vascular smooth musculature. The invention furthermore relates to processes for the prepn. of I, their use, in particular as active ingredients in pharmaceuticals, and pharmaceutical compns. comprising them. The process for the prepn. comprises reacting II (L1 = leaving group; R15 = R1SO2 or an amino protecting group) with III or IV; B, D, E, G, X, R2 and s are as defined above but functional groups can also be present in the form of precursor groups or in protected form. For example, (2S)-2-benzyloxycarbonylamino-3-(6-chloropurin-9-yl)propionic acid tert-Bu ester was reacted with piperidine-4-carboxylic acid in the presence of N,O-bis(trimethylsilyl)acetamide to give 1-(9-((2S)-2-benzyloxycarbonylamino-2-tert-butoxycarbonylethyl)purin-6-yl)piperidine-4-carboxylic acid, which was reacted with 2-amino-1,4,5,6-tetrahydropyrimidine hydrochloride to give (2S)-2-Benzyloxycarbonylamino-3-(6-(4-(1,4,5,6-tetrahydropyrimidin-2-ylcarbamoyl)piperidin-1-yl)purin-9-yl)propionic acid tert-Bu ester, which was deprotected at N, N-sulfonated

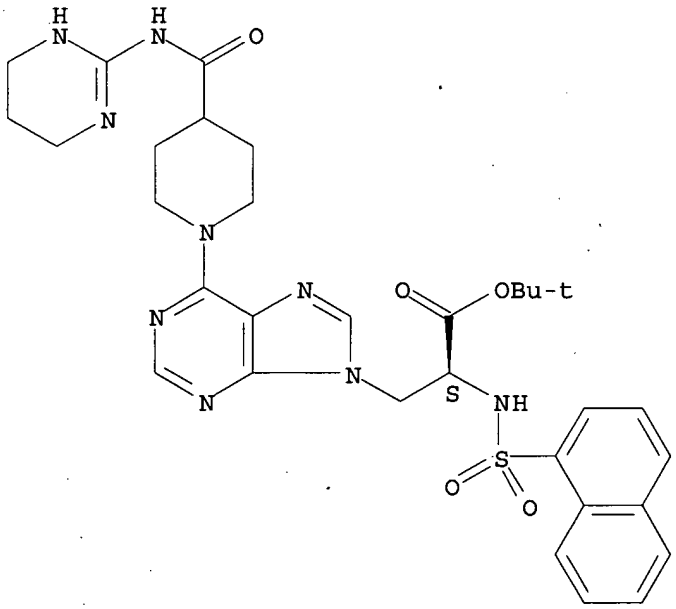
by various sulfonyl chlorides and hydrolyzed to give products such as (2S)-2-(naphthalene-1-sulfonylamino)-3-(6-(4-(1,4,5,6-tetrahydropyrimidin-2-ylcarbamoyl)piperidin-1-yl)purin-9-yl)propionic acid.

IT **315212-33-0P**, (2S)-2-(Naphthalene-1-sulfonylamino)-3-(6-(4-(1,4,5,6-tetrahydropyrimidin-2-ylcarbamoyl)piperidin-1-yl)purin-9-yl)propionic acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; substituted purine derivs., method of prepn. and use as inhibitors of cell adhesion)

RN 315212-33-0 CAPLUS

CN 9H-Purine-9-propanoic acid, .alpha.-[(1-naphthalenylsulfonyl)amino]-6-[4-[[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]carbonyl]-1-piperidinyl]-, 1,1-dimethylethyl ester, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



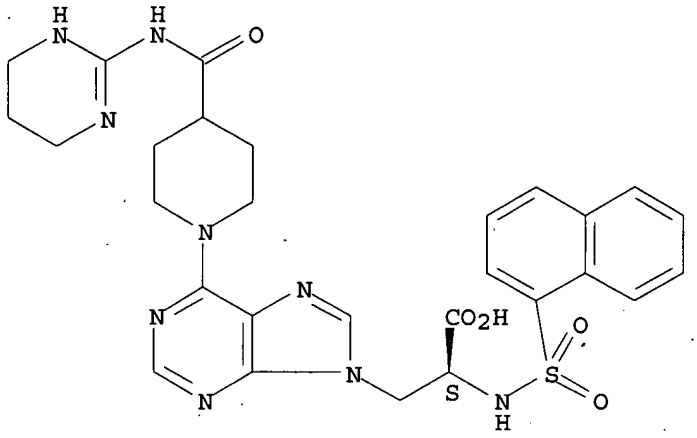

IT **315212-18-1P**, (2S)-2-(Naphthalene-1-sulfonylamino)-3-(6-(4-(1,4,5,6-tetrahydropyrimidin-2-ylcarbamoyl)piperidin-1-yl)purin-9-yl)propionic acid
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(substituted purine derivs., method of prepn. and use as inhibitors of cell adhesion)

RN 315212-18-1 CAPLUS

CN 9H-Purine-9-propanoic acid, .alpha.-[(1-naphthalenylsulfonyl)amino]-6-[4-[[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]carbonyl]-1-piperidinyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/ 031,121



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 10:03:20 ON 22 JUL 2003)

FILE 'REGISTRY' ENTERED AT 10:03:41 ON 22 JUL 2003
L1 STRUCTURE UPLOADED
L2 0 S L1 FUL
L3 4 S 'NAPHTHALENE-1-SULFONYLAMINO' AND '1,4,5,6-TETRAHYDROPYRIMIDI

FILE 'CAPLUS' ENTERED AT 10:08:21 ON 22 JUL 2003
L4 2 S L3

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| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 9.49 | 190.19 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | -1.30 | -1.30 |

STN INTERNATIONAL LOGOFF AT 10:08:54 ON 22 JUL 2003